

What is claimed:

1. A method for screening a library of small organic molecules for one or more candidate target binding fragments (CTBF's) that bind to a target biological molecule (TBM), each CTBF having a linkable functional group (LFG) or blocked form thereof (BLFG), wherein the LFG or BLFG contains a disulfide linking group (LG), the method comprising:

- (a) contacting the TBM with individual members of a library of the CTBF;
- (b) detecting or determining which CTBF's bind to the TBM; and
- (c) selecting CTBF's that bind to the TBM;

wherein the library is represented by the formula:



wherein R<sup>8</sup> is

a straight chain or branched alkyl of 1 to 10 carbon atoms that is optionally substituted with up to five groups selected from the group consisting of halide, alkyl, aryl, heteroaryl, carboxy ester, carboxamide, amino, *N*-acylamino, alkoxy, hydroxy, mercapto, phosphono and sulphono; or

an aryl or heteroaryl that is optionally substituted with halide, alkyl, aryl, halide, heteroaryl, carboxy ester, carboxamide, amino, *N*-acylamino, alkoxy, hydroxy, mercapto or phosphono.

2. The method of claim 1, wherein (b) comprises quantifying the binding association of the CTBF's with the TBM.

3. The method of claim 2, wherein a quantitative spectroscopic property is used to determine the binding association of the CTBF's with the TBM.

4. The method of claim 1, wherein (b) is accomplished by an *in vitro* biological assay.

5. The method of claim 4, wherein (b) comprises an ELISA assay.

6. The method of claim 1, wherein X-ray crystallography is used to determine the binding association of the CTBF's with the TBM.
7. The method of claim 1, further comprising subjecting the bound CTBF's and TBM to X-ray crystallography.
8. The method of claim 1, wherein each CTBF further contains a second LG selected from the group consisting of amide, secondary amine, disulfide, sulfonamide, ureido, thiourea, carbamate and sulfonamide.
9. The method of claim 1, further comprising linking at least two of the selected CTBF's or analogs thereof.
10. The method of claim 1, further comprising converting the selected CTBF's to structurally related analogs thereof.
11. The method of claim 1, further comprising linking the selected CTBF's to a second compound.
12. The method according to claim 1, wherein the TBM is a protein.
13. The method according to claim 12, wherein the protein is a hormone, cytokine, chemokine or receptor.
14. The method according to claim 1, wherein the TBM is an enzyme.
15. The method according to claim 14, wherein the enzyme is a protease, phosphatase (dephosphorylase) or kinase.
16. The method according to claim 1, wherein the library of CTBF's comprises small organic molecules with molecular weights of less than about 1000 Daltons.
17. The method according to claim 16, wherein the library of CTBF's comprises small organic molecules with molecular weights of less than about 500 Daltons.
18. The method of claim 17, wherein the library of CTBF's for binding to a TBM comprises at least about 100 different CTBF's.
19. The method of claim 1, wherein R<sup>8</sup> is a straight chain alkyl of 1 to 10 carbon atoms optionally substituted with amino or hydroxy.

20. The method of claim 1, wherein each CTBF of the library further contains at least a second LG selected from the group consisting of amide, secondary amine, disulfide, sulfonamide, ureido, thiourea, carbamate and sulfonamide.

21. The method of claim 20, wherein the second LG is an amide.

22. The method of claim 20, wherein the second LG is a sulfonamide.

23. The method of claim 1, wherein  $R^8$  is a straight chain alkyl of 1 to 10 carbon atoms substituted with amino.

24. The method of claim 1, wherein  $R^8$  is a straight chain alkyl of 1 to 10 carbon atoms substituted with hydroxy.